=> d ibib ab hitstr 1-10 18

L8 ANSWER 1 OF 10 USPATFULL
ACCESSION NUMBER: 93:7213 USPATFULL
TITLE: Intermediates for 3-keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Ulcaf, Paris, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5182381	19930126
APPLICATION INFO.:	US 1991-757261	19910910 (7)

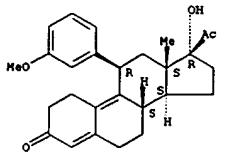
RELATED APPLN. INFO.: Continuation of Ser. No. US 1986-859072, filed on 2 May 1986, now abandoned which is a division of Ser. No. US 1985-746176, filed on 18 Jun 1985, now abandoned which is a division of Ser. No. US 1984-618590, filed on 8 Jun 1984, now patented, Pat. No. US 4540686 which is a continuation of Ser. No. US 1983-469042, filed on 23 Feb 1983, now patented, Pat. No. US 4477445

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-338	19820311

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Higel, Floyd D.
LEGAL REPRESENTATIVE: Bierman & Muserlian
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
LINE COUNT: 2068
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1##
and their non-toxic, pharmaceutically acceptable acid addition salts possessing a remarkable antiglucocorticoidal activity.
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

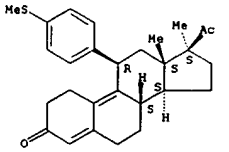
Absolute stereochemistry.

L8 ANSWER 1 OF 10 USPATFULL (Continued)



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 10 USPATFULL
ACCESSION NUMBER: 92:13091 USPATFULL
TITLE: 11 .beta.-phenyl-gonanes, their manufacture and pharmaceutical preparations containing them
INVENTOR(S): Neef, Gunter, Berlin, Germany, Federal Republic of
Beier, Sybille, Berlin, Germany, Federal Republic of
Elger, Walter, Berlin, Germany, Federal Republic of
Henderson, David, Berlin, Germany, Federal Republic of
Otto, Eckard, Berlin, Germany, Federal Republic of
Rohde, Ralph, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5089635	19920218
APPLICATION INFO.:	US 1986-827050	19860207 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1985-3504421	19850207
	DE 1985-3527517	19850729

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Millen, White & Zelano
NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
LINE COUNT: 1284
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 13-alkyl-11.beta.-phenyl-gonanes of general formula I ##STR1## wherein A and B together stand for an oxygen atom, a CH.sub.2 group or a second bond between carbon atoms 9 and 10,

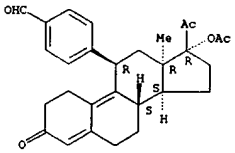
X is an oxygen atom or the hydroxyimino grouping N.about.OH,

R.sub.1 is a straight-chained or branched, saturated or unsaturated alkyl radical with up to 8 carbon atoms, which contains the grouping ##STR2## with X as described above, R.sub.2 is a methyl or ethyl radical in the .alpha. or .beta. position,

R.sub.9, R.sub.10, R.sub.11 and R.sub.12 each stand for a hydrogen atom, a hydroxy, alkyl, alkoxy or acyloxy group with 1 to 4 carbon atoms respectively or a halogen atom and R.sub.3 and R.sub.4 have a variety of meanings, have antigestagenic and antiglucocorticoid effects.
IT **105114-79-2P 105135-29-3P**
(prepn. of, as antigestagen and antiglucocorticoid)
RN 105114-79-2 USPATFULL
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

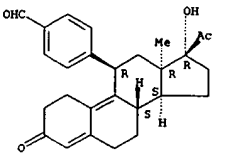
Absolute stereochemistry.

L8 ANSWER 2 OF 10 USPATFULL (Continued)



RN 105135-29-3 USPATFULL
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-hydroxy-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 3 OF 10 USPATFULL
ACCESSION NUMBER: 91:102214 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Durham, NC, United States
Lee, Yun W., Chapel Hill, NC, United States
Reel, Jerry R., Cary, NC, United States
Rector, Douglas, Mobile, AL, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park,
NC, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5073548	19911217
APPLICATION INFO.:	US 1990-504129	19900403 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1988-210503, filed on 23 Jun
1988, now patented, Pat. No. US 4954490
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Ward, E. C.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4
alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5 , wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2
is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2
N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2,
and X is O or NOCH.sub.3 ; or

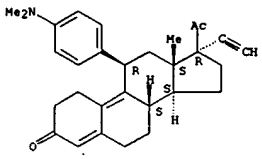
(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as
defined above; or

(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1 ,
R.sup.4, R.sup.6 and X are as defined above.
IT **126690-20-8P 126690-26-4P 126690-29-7P**

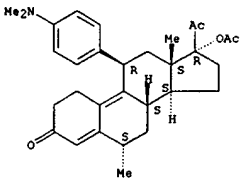
L8 ANSWER 3 OF 10 USPATFULL (Continued)
126726-67-8P 126784-99-4P
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)
RN 126690-20-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126690-26-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

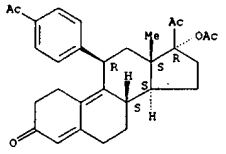
Absolute stereochemistry.



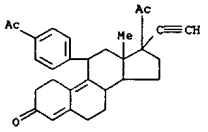
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 3 OF 10 USPATFULL (Continued)

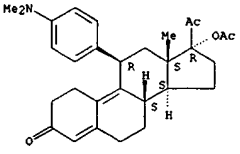


RN 126726-67-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)



RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 4 OF 10 USPATFULL
ACCESSION NUMBER: 91:92521 USPATFULL
TITLE: Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5064822	19911112
APPLICATION INFO.:	US 1989-438359	19891116 (7)
DISCLAIMER DATE:	20011016	

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1986-859072, filed
on 2 May 1986 which is a division of Ser. No. US 1985-746176, filed on 18 Jun 1985, now abandoned which
is a division of Ser. No. US 1984-618590, filed on 8
Jun 1984, now patented, Pat. No. US 4540686 which is a
continuation of Ser. No. US 1983-469042, filed on 23
Feb 1983, now patented, Pat. No. US 4477445

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-3338	19820301
	FR 1988-14868	19881116

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Powers, Fiona T.
LEGAL REPRESENTATIVE: Bierman and Muserlian
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1,6,11
LINE COUNT: 2197
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1## wherein R.sub.1 is selected from the group consisting of naphthyl, phenylphenyl, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms optionally containing additional unsaturations, phenoxy, furyl,
cycloalkyl of 3 to 6 carbon atoms, thienyl optionally substituted with
at least one member of the group consisting of halogen and alkyl and haloalkyl of 1 to 6 carbon atoms and phenyl optionally substituted with
at least one member of the group consisting of --OH, halogen, --CF.sub.3, alkyl and alkoxy of 1 to 6 carbon atoms, alkenyloxy of 2 to
6 carbon atoms, phenoxy and alkylthio of 1 to 6 carbon atoms optionally
oxidized to the sulfoxide or sulfone, R.sub.2 is selected from the group

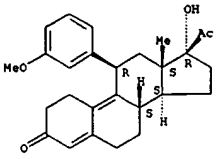
L8 ANSWER 4 OF 10 USPATFULL (Continued)
consisting of methyl and ethyl, R.sub.3 is selected from the group consisting of hydrogen, optionally substituted alkyl of 1 to 6 carbon atoms, optionally substituted alkenyl and alkynyl of 2 to 6 carbon atoms, --OH, acetyl, hydroxyacetyl, carboxyalkoxy of 2 to 4 carbon atoms optionally esterified or salified and hydroxyalkyl of 1 to 6 carbon atoms optionally esterified, R.sub.4 is selected from the group consisting of hydrogen, alkylthio and alkoxy of 1 to 12 carbon atoms, trialkylsilyl of 1 to 6 carbon atoms, --CN, --OH and alkyl, alkenyl and alkynyl of up to 12 carbon atoms optionally substituted with at least one member of the group consisting of halogen and alkylamino and dialkylamino of 1 to 6 alkyl carbon atoms, R.sub.5 is selected from the group consisting of hydrogen and methyl in the .alpha.- or .beta.-position, X is .dbd.O or hydroxyimino or alkoxyimino of 1 to 4 carbon atoms in the syn or anti form and A and B are an epoxy or a second bond in the 9(10) position and their non-toxic, pharmaceutically acceptable acid addition salts where R.sub.4 is an amino group, with the proviso that A and B are not a second bond in the 9(10)-position when X is .dbd.O and R.sub.5 is hydrogen and a) R.sub.2 is methyl and .alpha.) R.sub.3 is --OH and i) R.sub.1 is ethyl or phenyl and R.sub.4 is hydrogen or ii) R.sub.1 is ethyl, propyl, isopropyl, vinyl, allyl, isopropenyl, phenyl, 4-fluorophenyl, methoxyphenyl or thienyl and R.sub.4 is ethynyl or iii) R.sub.1 is propyl, isopropyl, vinyl, allyl, isopropenyl, 4-methoxyphenyl or thienyl and R.sub.4 is methyl and .beta.) R.sub.3 is acetyl and i) R.sub.1 is ethyl, vinyl or phenyl and R.sub.4 is --OH or ii) R.sub.1 is vinyl and R.sub.4 is methyl and b) R.sub.2 is ethyl and R.sub.1 is vinyl, R.sub.3 is --OH and R.sub.4 is hydrogen possessing a remarkable antiglucocorticoidal activity.

IT **88256-91-1P 88256-94-4P**
(prepn. of)

RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

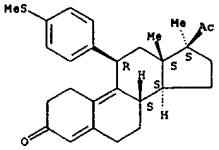
Absolute stereochemistry.

L8 ANSWER 4 OF 10 USPATFULL (Continued)



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 5 OF 10 USPATFULL

ACCESSION NUMBER: 90:69718 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Research Triangle Park, NC, United States
Lee, Y.-W, Chapel Hill, NC, United States
Reel, Jerry R., Delmar, NY, United States
Rector, Douglas, Raleigh, NC, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4954490	19900904
APPLICATION INFO.:	US 1988-210503	19880623 (7)

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1259
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5, wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2 is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2 N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2, and X is O or NOCH.sub.3 ; or

(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as defined above; or

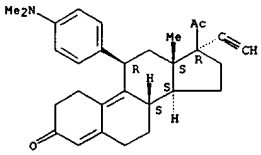
(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1, R.sup.4, R.sup.6 and X are as defined above.

IT **126690-20-8P 126690-26-4P 126690-29-7P 126726-67-8P 126784-99-4P**
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)

RN 126690-20-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 10 USPATFULL (Continued)

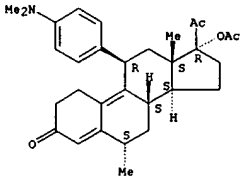
Absolute stereochemistry.



102

RN 126690-26-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

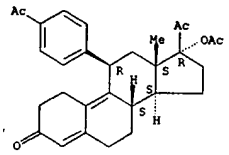
Absolute stereochemistry.



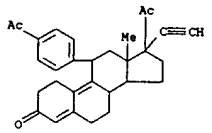
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 5 OF 10 USPATFULL (Continued)

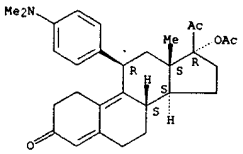


RN 126726-67-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-17-ethynyl-, (11.beta.)- (9CI) (CA INDEX NAME)



RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 10 USPATFULL
ACCESSION NUMBER: 90:23597 USPATFULL
TITLE: Novel 11 .beta.-alkynylphenyl-10-nor-steroids
INVENTOR(S): Teutsch, Jean-Georges, Pantin, France
Klich, Michel, Villemomble, France
Philibert, Daniel, La Varenne-Saint-Hilaire, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4912097	19900327
APPLICATION INFO.:	US 1987-44958	19870430 (7)
PRIORITY INFORMATION:	FR 1986-6517	19860506

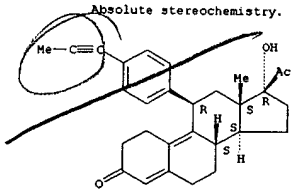
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Bierman & Muserlian
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1,9
LINE COUNT: 2174
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel 11.beta.-alkynylphenyl-19-nor-steroids of the formula ##STR1## wherein R.sub.1 is alkynyl of 2 to 8 carbon atoms optionally substituted with at least one member of the group consisting of --OH halogen, trialkylsilyl of 1 to 6 alkyl carbon atoms, alkoxy and alkylthio of 1 to 6 carbon atoms and dialkylamino of 1 to 6 alkyl carbon atoms having remarkably antiprogestomimetic and antiglucocorticoidal activity.

IT **116421-94-4P 116501-92-9P**
(prepn. and acetylation of)

RN 116421-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

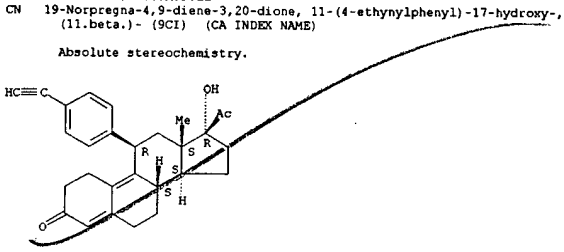
Absolute stereochemistry.



L8 ANSWER 6 OF 10 USPATFULL (Continued)

RN 116501-92-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

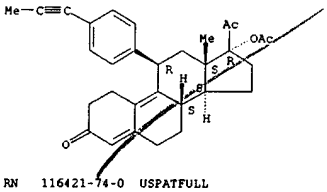
Absolute stereochemistry.



IT **116421-73-9P 116421-74-0P 116421-82-0P**
(prepn. of, as drug)

RN 116421-73-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

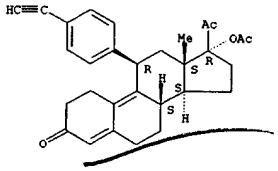
Absolute stereochemistry.



RN 116421-74-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

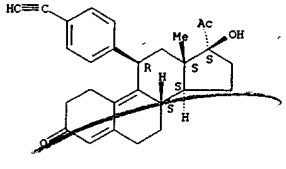
Absolute stereochemistry.

L8 ANSWER 6 OF 10 USPATFULL (Continued)



RN 116421-82-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 7 OF 10 USPATFULL
ACCESSION NUMBER: 88:69168 USPATFULL
TITLE: 13.alpha.-alkyl-gonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Gunter, Berlin, Germany, Federal Republic of
Wiechert, Rudolf, Berlin, Germany, Federal Republic of
Beier, Sybille, Berlin, Germany, Federal Republic of
Elger, Walter, Berlin, Germany, Federal Republic of
Henderson, David, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4780461	19881025
APPLICATION INFO.:	US 1985-810148	19851218 (6)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1984-621308, filed on 15 Jun 1984, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1983-3321826	19830615
	DE 1984-3413036	19840404
	DE 1984-3446661	19841218

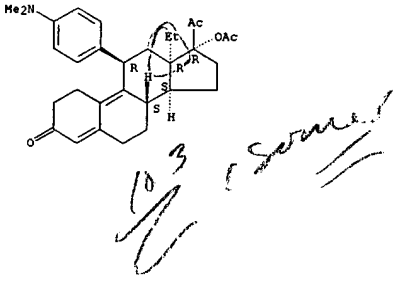
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Schenkman, Leonard
ASSISTANT EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Millen & White
NUMBER OF CLAIMS: 41
EXEMPLARY CLAIM: 18
LINE COUNT: 310
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 13.alpha.-alkylgonanes of formula I ##STR1## where R is an acyl radical
with as many as 10 C-atoms, and

X is an oxygen atom or the grouping N--OH,

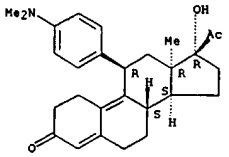
have a strong antigestagenic effect and can be used for postcoital fertility control.
IT **96285-39-1P 96285-40-4P 96285-50-6P**
(prepn. of, as postcoital contraceptive)
RN 96285-39-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 7 OF 10 USPATFULL (Continued)

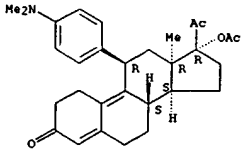


L8 ANSWER 7 OF 10 USPATFULL (Continued)



RN 96285-40-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 96285-50-6 USPATFULL
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 8 OF 10 USPATFULL
ACCESSION NUMBER: 85:53780 USPATFULL
TITLE: 3-Keto-19-nor-.DELTA..sup.4,9 -steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-Sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

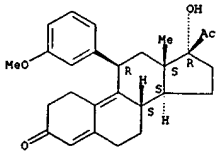
	NUMBER	DATE
PATENT INFORMATION:	US 4540686	19850910
APPLICATION INFO.:	US 1984-618590	19840608 (6)
DISCLAIMER DATE:	20011016	

RELATED APPLN. INFO.: Continuation of Ser. No. US 1983-469042, filed on 23 Feb 1983, now patented, Pat. No. US 4477445

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1982-3338	19820301

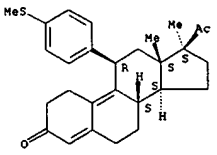
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Muserlian, Charles A.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1,8
LINE COUNT: 2043
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA..sup.4,9 -steroids of the formula ##STR1##
possessing a remarkable antiglucocorticoidal activity.
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L8 ANSWER 8 OF 10 USPATFULL (Continued)
Absolute stereochemistry.

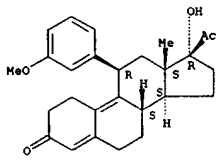


L8 ANSWER 9 OF 10 USPATFULL
ACCESSION NUMBER: 84:58178 USPATFULL
TITLE: 3-Keto-19-nor-.DELTA.4,9-steroids
INVENTOR(S): Philibert, Daniel, La Varenne Saint-Hilaire, France
Teutsch, Jean G., Pantin, France
Costerousse, Germain, Saint-Maurice, France
Deraedt, Roger, Pavillons-sous-Bois, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4477445	19841016
APPLICATION INFO.:	US 1983-469042	19830223 (6)
PRIORITY INFORMATION:	FR 1982-3338	19820301

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Roberts, Elbert L.
LEGAL REPRESENTATIVE: Muserlian, Charles A.
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1,11
LINE COUNT: 2221
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 3-keto-19-nor-.DELTA.4,9-steroids of the formula ##STR1##
IT **88256-91-1P 88256-94-4P**
(prepn. of)
RN 88256-91-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

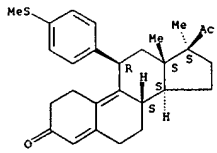
Absolute stereochemistry.



RN 88256-94-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 9 OF 10 USPATFULL (Continued)



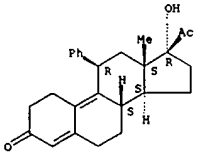
L8 ANSWER 10 OF 10 USPATFULL
ACCESSION NUMBER: 80:56503 USPATFULL
TITLE: 11.beta.-Substituted-.DELTA..sup.4,9 -estradienes
INVENTOR(S): Teutsch, Jean G., Le Blanc-Mesnil, France
Philibert, Daniel, La Varenne Saint-Hilaire, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4233296	19801111
APPLICATION INFO.:	US 1978-867485	19780106 (5)
PRIORITY INFORMATION:	FR 1977-858	19770113

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Love, Ethel G.
LEGAL REPRESENTATIVE: Hammond & Littell
NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1,15,29
LINE COUNT: 1155
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel steroids of the formula ##STR1## wherein R.sub.1 is linear or branched alkyl of 1 to 12 carbon atoms, unsaturated alkyl of 2 to 8 carbon atoms optionally substituted, optionally substituted aryl of 6 to 12 carbon atoms, optionally substituted aralkyl of 7 to 13 carbon atoms and a heterocycle with at least one sulfur or oxygen atom, R.sub.2 is alkyl of 1 to 4 carbon atoms, R.sub.3 is selected from the group consisting of hydrogen, hydroxy, acyloxy of an organic carboxylic acid of 1 to 18 carbon atoms, alkoxy of 1 to 8 carbon atoms and acyl of an organic carboxylic acid of 1 to 18 carbon atoms and R.sub.4 is selected from the group consisting of hydrogen, hydroxy, alkyl and alkoxy of 1 to 8 carbon atoms, alkenyl and alkynyl of 2 to 8 carbon atoms and acyloxy of an organic carboxylic acid of 1 to 18 carbon atoms, with the proviso that R.sub.4 is not hydrogen when R.sub.1 is allyl, R.sub.2 is methyl and R.sub.3 is hydroxy having progestomimetic properties and their preparation.
IT **67983-59-9P**
(prepn. of)
RN 67983-59-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-phenyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 10 OF 10 USPATFULL (Continued)

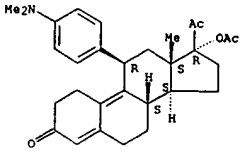


=> d ibib ab hitstr 1-16 16

L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:540408 CAPLUS
DOCUMENT NUMBER: 125:238850
TITLE: Effects of two antiprogestins on early pregnancy in the long-tailed macaque (Macaca fascicularis)
AUTHOR(S): Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; Thomas, Charles A.A.; Vince, Pamela M.; Van Look, Paul F.A.
CORPORATE SOURCE: California Regional Primate Research Center, University of California, Davis, CA, 95616, USA
SOURCE: Contraception (1996), 54(2), 107-115
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The abortifacient effects of mifepristone and HRP 2000 were compared in gravid long-tailed macaques. Thirty-six animals were studied with treatment administered either by the oral (0.5 or 5.0 mg/kg; N = 5 per antiprogestin per dose) or i.m. (IM) routes (0.5 mg/kg; N = 5 per antiprogestin) on gestational days (GD) 23-26; six vehicle controls were included. Blood samples were collected for assay of progesterone (P4) and each of the antiprogestins (pre-treatment, daily GD 23-28, every other day GD 30-40), and animals were monitored sonog. throughout gestation. Results of these studies indicated high rates of abortion with IM administration (3/5 mifepristone, 4/5 HRP 2000) and 5.0 mg/kg oral route (4/5, 2/5, resp.), with less effects noted at oral doses of 0.5 mg/kg (2/5, 0/5, resp.). No early abortions were obsd. in the control groups. Following daily IM treatment, peak levels of 8-16 ng/mL mifepristone were detected whereas 6-10 ng/mL of HRP 2000 were noted (GD 26-27). No serum levels of mifepristone were detected following either of the oral doses whereas serum levels of 2-6 ng/mL HRP 2000 were noted with high dose oral administration. Results of these studies suggest: (1) both antiprogestins are roughly comparable in terminating early pregnancy although HRP 2000 may be more efficacious when administered IM whereas mifepristone may be more effective when administered orally; (2) similar levels of biol. activity are seen with the IM and high dose oral dosing regimens, with little or no activity with the oral low dose; and (3) infants resulting

L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
from surviving pregnancies were not affected by early gestation exposure.
IT **126784-99-4**
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(abortifacient effects of antiprogestins in early pregnancy in long-tailed macaque in relation to dose and administration route)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

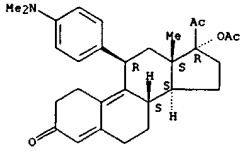
Absolute stereochemistry.



L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:498851 CAPLUS
DOCUMENT NUMBER: 125:238820
TITLE: 16.alpha.-Substituted analogs of the antiprogestin RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity
AUTHOR(S): Wagner, Brandee L.; Pollio, Giuseppe; Leonhardt, Susan; Wani, Mansukh C.; Lee, David Y.-W.; Imhof, Markus O.; Edwards, Dean P.; Cook, C. Edgar; McDonnell, Donald P.
CORPORATE SOURCE: Department Pharmacology Molecular Cancer Biology, Duke University Medical Center, Durham, NC, 27710, USA
SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1996), 93(16), 8739-8744
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previously, the authors have shown that agonists and antagonists interact with distinct, though overlapping regions within the human progesterone receptor (hPR) resulting in the formation of structurally different complexes. Thus, a link was established between the structure of a ligand-receptor complex and biol. activity. In this study, the authors have utilized a series of in vitro assays with which to study hPR pharmacol. and have identified a third class of hPR ligands that induce a receptor conformation which is distinct from that induced by agonists or antagonists. Importantly, when assayed on PR-responsive target genes these compds. were shown to exhibit partial agonist activity; an activity that was influenced by cell context. Thus, as has been shown previously for estrogen receptor, the overall structure of the ligand-receptor complex is influenced by the nature of the ligand. It appears, therefore, that the obsd. differences in the activity of some PR and estrogen receptor ligands reflect the ability of the cellular transcription machinery to discriminate between the structurally different complexes that result following ligand interaction. These data support the increasingly favored hypothesis that different ligands can interact with different regions within the hormone binding domains of steroid hormone receptors resulting in different biologies.
IT **126784-99-4**, RTI 3021-012
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(16.alpha.-substituted analogs of the antiprogestin RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity)
RN 126784-99-4 CAPLUS

L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:985962 CAPLUS
DOCUMENT NUMBER: 124:22540
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

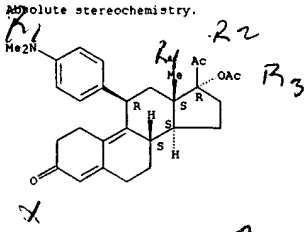
PRIORITY APPLN. INFO.: FR 1994-4156 19940408
OTHER SOURCE(S): MARPAT 124:22540

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

IT **126784-99-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RU 486 related; antiglucocorticoid steroids for treatment or prevention of spontaneous opioid or narcotic-induced drug withdrawal syndrome.)

L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

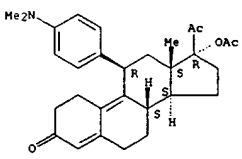


L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:499191 CAPLUS
DOCUMENT NUMBER: 122:256542
TITLE: The anti-progestin CDB 2914 has no antifertility effect in male rats
AUTHOR(S): Wang, Christina; Sinha-Hikim, Amiya; Leung, Andrew
CORPORATE SOURCE: Department of Medicine, Cedars-Sinai Medical Center, Los Angeles, CA, USA
SOURCE: Contraception (1995), 51(3), 215-18
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study examines the effect of an anti-progestin (CDB 2914) with anti-progestational potencies similar to RU 486 on spermatogenesis, sperm maturation, and fertility in male rats. Adult male rats of proven fertility were administered the anti-progestin (10 mg/kg/day) or vehicle (control group) for 14, 35, and 70 days to study the possible effect of this compd. on epididymal sperm maturation, post-meiotic sperm development, spermatogenesis, and fertility, resp. Fertility rates of the rats were detd. by mating studies. The anti-progestin, CDB 2914, had no effect on testis or accessory organ wts., epididymal sperm content or motility, testicular sperm count, spermatogenesis, and fertility of male rats. This study suggests that anti-progestins, when administered even at higher doses than those used in humans, have no contraceptive effect in adult male rats.

IT **126784-99-4**, CDB 2914
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(anti-progestin CDB 2914 has no antifertility effect in male rats)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

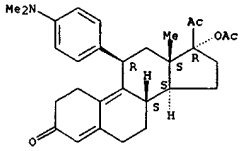
Absolute stereochemistry.



L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)

L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:86211 CAPLUS
DOCUMENT NUMBER: 122:31745
TITLE: Oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in the presence of methanol
AUTHOR(S): Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Kyun K.
CORPORATE SOURCE: Dep. Org. Chem., Southwest Foundation Biomed. Res., San Antonio, TX, 78228-0147, USA
SOURCE: J. Chem. Soc., Chem. Commun. (1994), (17), 1985-6
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31745
AB Reaction of p-substituted N,N-dimethylarylamines with iodine-calcium oxide in tetrahydrofuran-methanol affords N-methylarylamines in good yield.
IT **126784-99-4 159811-51-5**
RL: RCT (Reactant)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

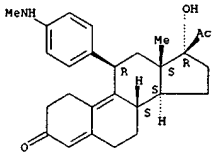


182

RN 159811-51-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

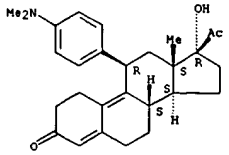
Absolute stereochemistry.

L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



182

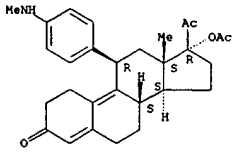
L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



102

IT **159681-66-0P 159681-67-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
RN 159681-66-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

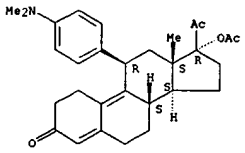


RN 159681-67-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:290311 CAPLUS
DOCUMENT NUMBER: 120:290311
TITLE: A comparison of the pregnancy-terminating potencies of three anti-progestins in guinea pigs, and the effects of sulprostone
AUTHOR(S): Poyser, N. L.; Forcelledo, M. L.
CORPORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9JZ, UK
SOURCE: Prostaglandins, Leukotrienes Essent. Fatty Acids (1994), 50(5), 245-7
CODEN: PLEAEU; ISSN: 0952-3278
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The anti-progestins mifepristone, lilopristone (ZK 98734) and HRP 2000 were equipotent at terminating the pregnancy of guinea-pigs during mid-gestation, although mifepristone was more effective at low doses. Sulprostone administration on the day following anti-progestin treatment tended to increase the effectiveness of mifepristone and HRP 2000, without affecting the time interval between the start of the antiprogestin treatment and the day of abortion. It is concluded that, of the three afferent anti-progestins used, none is more potent than the other two at terminating pregnancy in the animal model used. The co-administration of a PGE2 analog tends to increase the effectiveness of the anti-progestin.
IT **126784-99-4**
RL: BIOL (Biological study)
(abortion from, sulprostone enhancement of)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

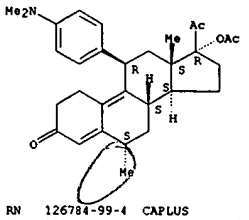
Absolute stereochemistry.



L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:73787 CAPLUS
DOCUMENT NUMBER: 118:73787
TITLE: Reversal of activity profile in analogs of the antiprogestin RU 486: effect of a 16.alpha.-substituent on progestational (agonist) activity
AUTHOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Fail, Patricia A.; Petrow, Vladimir
CORPORATE SOURCE: Research Triangle Inst., Research Triangle Park, NC, 27709-2194, USA
SOURCE: Life Sci. (1993), 52(2), 155-62
CODEN: LIFSAK; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English
AB RU 486 analogs (I, R = H, OAc; R1 = H, Et; R2 = H, Me) were tested for binding to progestogen receptors and for progestational and antiprogestational activity. The 17.beta.-acetoxy analogs showed antiprogestational activity, whereas the 16.alpha.-Et analogs were progestogenic. The analog I (R = R1 = R2 = H) exhibited mixed activity.
Examn. of structure-activity relationships in combination with computer aided mol. modeling suggests that a binding interaction of the 16.alpha.-Et group with the progesterone receptor (PR) or the PR-progestin response element complex may play the major role in this reversal of activity profile.
IT **126690-26-4 126784-99-4**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antiprogestogenic activity of, mol. structure in relation to)
RN 126690-26-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

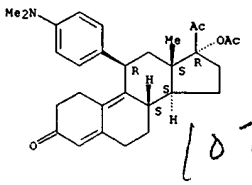
Absolute stereochemistry.

L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



102

L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:213172 CAPLUS
DOCUMENT NUMBER: 110:213172
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

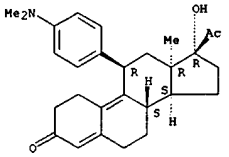
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218

PRIORITY APPLN. INFO.: DE 1983-3321826 19830615
DE 1984-3413036 19840404
US 1984-621308 19840615
DE 1984-3446661 19841218

OTHER SOURCE(S): MARPAT 110:213172
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = O; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.
IT **96285-39-1P 96285-40-4P 96285-50-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as postcoital contraceptive)
RN 96285-39-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

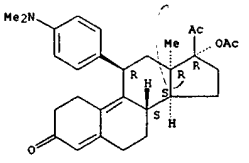
L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



102

RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

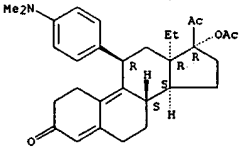
Absolute stereochemistry.



102

RN 96285-50-6 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



102

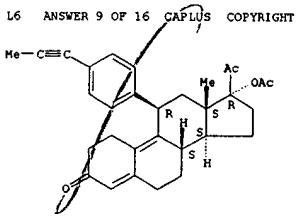
L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1988:529463 CAPLUS
DOCUMENT NUMBER: 109:129463
TITLE: New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245170	A1	19871111	EP 1987-401018	19870504
EP 245170	B1	19891129		
R: CH, DE, GB, IT, LI, NL, SE				
FR 2598421	A1	19871113	FR 1986-6517	19860506
FR 2598421	B1	19880819		
US 4912097	A	19900327	US 1987-44958	19870430
HU 44793	A2	19880428	HU 1987-2007	19870505
HU 196224	B	19881028		
JP 62294694	A2	19871222	JP 1987-109059	19870506

PRIORITY APPLN. INFO.: FR 1986-6517 19860506

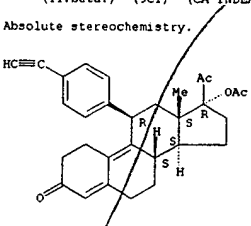
AB Title steroids I [R1 = C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 = C1-3 alkyl; A/B-rings = Q1-Q5; D-ring = Q6, Q7; R3, R4 = H, C1-4 alkyl; R5 = H, OH, acycloxy, (un)substituted C1-6 alkoxy; R6 = H, C1-8 alkyl, C7-15 aralkyl; R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; Y2 = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl] are prepd. for use as progestogens, antiprogestogens, and/or antiglucocorticoids. 3,3-Ethylenedioxy-5,10-epoxy-estr-9(11)-en-17-one was treated with 4-(Me3SiC:C)C6H4MgBr and CuCl in THF, and the product treated with CH2:CHCH2MgBr and deprotected and dehydrated (NH4OH in aq. MeOH, then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone II. At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn. of uridine uptake by rat thymocytes (5 .times. 10-8M dexamethasone). Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) analog of II, and 120 mg of a mixt. of talc, starch, and Mg stearate.

L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



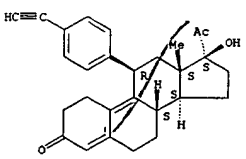
RN 116421-74-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



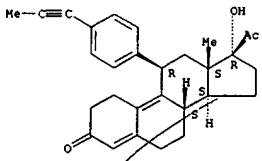
RN 116421-82-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



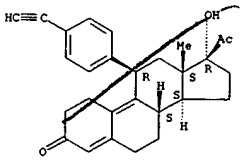
L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
IT 116421-94-4P 116501-92-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)
RN 116421-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116501-92-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 116421-73-9P 116421-74-0P 116421-82-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 116421-73-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1988:6285 CAPLUS
DOCUMENT NUMBER: 108:6285
TITLE: Preparation of new 5.alpha.-hydroxy-.DELTA.9(10)-19-norsteroids and their conversion to .DELTA.4-19-norsteroids useful as antiglucocorticoids
INVENTOR(S): Philibert, Daniel; Teutsch, Jean Georges; Costerousse, Germain; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Fr. Demande, 61 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

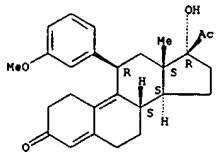
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2586021	A1	19870213	FR 1985-12216	19850809
FR 2586021	B1	19881014		

AB 5.alpha.-Hydroxy-19-norsteroids I [R1 = alkyl, alkenyl, furyl, cycloalkyl, naphthyl, di-Ph, (un)substituted thienyl or Ph; R2 = Me, Et; R3 = H, OH, HOCH2CO, carboxyalkoxy, acyloxyalkyl, (un)substituted alkyl, alkenyl, alkynyl, (un)ketalized Ac, and R4 = H, OH, CH2CN, (un)substituted alkyl, alkenyl, alkynyl; or R3 = cyano and R4 = ether-protected OH; R5 = H, .alpha.- or .beta.-Me; K = keto group blocked as a ketal, thioketal, oxime, or methyloxime; various further provisos are given] are prepd. and converted to the 19-norsteroids II [X = O, NOH, alkoxyimino; AB = O, bond; similar R-groups and provisos], which are antiglucocorticoids. A soln. of 3,3-ethylenebis(oxy)-5.alpha.,10.alpha.-epoxy-17.alpha.-(prop-1-ynyl)estr-9(11)-en-17.beta.-ol in THF was treated with a soln. of Cu reagent (from CuCl and 4-MeSC6H4MgBr) in THF, and the mixt. was stirred for 2 h at -20.degree. to give I [R1 = 4-MeSC6H4, R2 = Me, R3 = OH, R4 = C.tplbond.CMe, R5 = H, K = OCH2CH2O]. Deprotection and dehydration of the latter by refluxing in 95% EtOH with the acidic sulfonate resin Redex CF gave the corresponding II (X = O, AB = bond, others as given) (III). Tablets of 120 mg each contained 50 mg III and the remainder of talc, starch, and Mg stearate. III had a 24-h relative binding affinity 227% that of dexamethasone for isolated rat thymus glucocorticoid receptors.

IT 88256-91-1P 88256-94-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

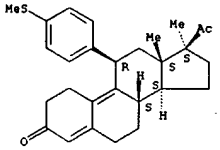
L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiglucocorticoid)
RN 88256-91-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 88256-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:	1988:1254 CAPLUS
DOCUMENT NUMBER:	108:1254
TITLE:	Product containing an antiprogestomimetic and a uterotonic substance
INVENTOR(S):	Bygdeman, Marc
PATENT ASSIGNEE(S):	Roussel-UCLAF , Fr.
SOURCE:	Eur. Pat. Appl., 32 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	1

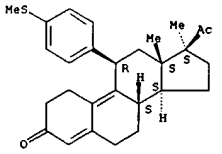
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 184471	A1	19860611	EP 1985-400330	19850222
EP 184471	B1	19901114		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2573657	A1	19860530	FR 1984-18188	19841129
FR 2573657	B1	19890512		
AT 58295	E	19901115	AT 1985-400330	19850222
CA 1251732	A1	19890328	CA 1985-489943	19850904
PRIORITY APPLN. INFO.:			FR 1984-18188	19841129
			EP 1985-400330	19850222

AB Joint administration of known steroid antiprogesterone or antiprogestomimetic compds. and known uterotonic compds. (oxytocin, ergot alkaloids, sparteine, prostaglandins) is highly effective in inducing abortion. Thus, oral administration of 25 mg RU486, twice daily, for 4 days, followed by a single i.m. administration of 0.25 mg sulprostone induced abortion in all 9 treated pregnant women.
IT **88256-94-4**
RL: BIOL (Biological study)
(abortion-inducing treatment with uterotonic compds. and)
RN 88256-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:	1987:5324 CAPLUS
DOCUMENT NUMBER:	106:5324
TITLE:	11.beta.-Phenylgonanes and pharmaceutical compositions containing them
INVENTOR(S):	Neef, Guenter; Wiechert, Rudolf; Ottow, Eckard; Rohde, Ralph; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S):	Schering A.-G. , Fed. Rep. Ger.
SOURCE:	Eur. Pat. Appl., 55 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	2

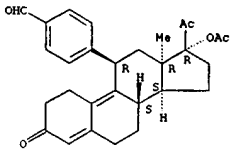
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3504421	A1	19860807	DE 1985-3504421	19850207
DE 3527517	A1	19870129	DE 1985-3527517	19850729
AT 45956	E	19890915	AT 1986-101548	19860206
PRIORITY APPLN. INFO.:			DE 1985-3504421	19850207
			DE 1985-3527517	19850729
			EP 1986-101548	19860206

AB 11.beta.-Phenylgonane derivs. I [Z = O, CH2, bond; X = O, NOH; R1 = 3- or 4-hydrocarbyl contg. C:X; R2 = .alpha.- or .beta.-Me or -Et; R3 and R4 = various group combinations (e.g. R3 or R4 = OH, acyloxy, other = (un)substituted C.tplbond.CH, R3R4 = CH2CH2CO2); R5-8 = H, OH, alkyl, alkoxy, acyloxy, halo] were prepd. as antigestagens and antiglucocorticoids, with a notable dissocn. of the two activities. Thus, 4-BrC6H4Ac was ketalized with Me2C(CH2OH)2, and the ketal was coupled with epoxyestrenol deriv. II by a Cu-catalyzed Grignard reaction. The resulting arylgonane deriv. III (R3 = OH, R4 = H) was oxidized to give III (R3R4 = O), which underwent alkynylation by LiC.tplbond.CMe or LiC.tplbond.CCH2OTHP (THP = 2-tetrahydropyranyl) to give III (R3 = OH, R4 = C.tplbond.CR9, R9 = Me or CH2OTHP). The former was hydrolyzed by aq. HOAc, and the latter was hydrogenated and then hydrolyzed, to give IV (R4 = C.tplbond.CMe) (V) and (Z)-IV (R4 = CH:CHCH2OH) (VI). V and VI showed, resp., 10- and 30-fold the abortifacient activity of the known compd. RU-38486 in gravid rats, while showing 30% and <1% of its antiglucocorticoid activity.
IT **105114-79-2P 105135-29-3P**

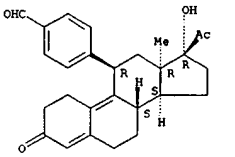
L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antigestagen and antiglucocorticoid)
RN 105114-79-2 CAPLUS
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

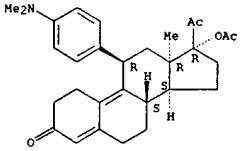


RN 105135-29-3 CAPLUS
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-hydroxy-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

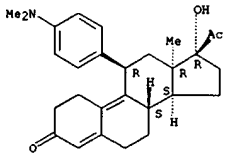


L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1986:34230 CAPLUS
DOCUMENT NUMBER: 104:34230
TITLE: New steroids with antiprogestational and antiglucocorticoid activities
AUTHOR(S): Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf
CORPORATE SOURCE: Res. Lab., Schering A.-G./Bergkamen, Berlin, D-1000/65, Fed. Rep. Ger.
SOURCE: Steroids (1984), 44(4), 349-72
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB C-11 substituted 19-norsteroids I and II (R = MeO, F, Me2N; R1 = HO, AcO, HC.tplbond.C, MeC.tplbond.C, HOCH2CH2CH2; R2 = HO, Ac, HC.tplbond.C, HOCH2CH2CH2, HOCH2CH:CH) with inverse configuration at C-13 were synthesized. 11.beta.-Aryl compds. possess antiprogestational and antiglucocorticoid activities.
IT **96285-39-1P 96285-40-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiglucocorticoid activity of)
RN 96285-39-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

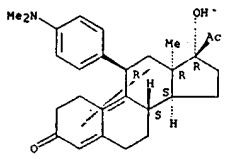
L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1985:406617 CAPLUS
DOCUMENT NUMBER: 103:6617
TITLE: 13.alpha.-Alkylgonanes and pharmaceutical compositions containing them
INVENTOR(S): Neef, Guenter; Sauer, Gerhard; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David; Rohde, Ralph
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 129499	A2	19841227	EP 1984-730062	19840613
EP 129499	A3	19851009		
EP 129499	B1	19871209		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
AT 31313	E	19871215	AT 1984-730062	19840613
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			EP 1984-730062	19840613

AB Phenylalkylgonenes I [R = H, alkyl; R1 = amino, alkylamino, 5- or 6-membered heterocycle ring radical, alkoxy; R2 = H, Me, Et; R3 = alkyl, alkylsulfinylalkyl, alkoxyalkenyl, alkynyl, cyanoalkyl, Ac, HOCH2CO; R4 = HO, alkoxy, acyloxy; R3R4 = 5-oxodihydrofuran-2(3H)-ylidene] were prepd. via epimerization of estrene derivs. and possessed antigestagenic and post-coital contraceptive activities. Thus, the (aminophenyl)estrenone ketal II was photolyzed in THF using a Hg high-pressure lamp to give the C-13 epimer of II, which underwent successive addn. reaction with LiC.tplbond.CCH2O-THP (THP = tetrahydropyranyl), hydrogenation, and hydrolysis to give the (hydroxypropyl)gonadiene III. At 10 mg/animal/day III had a 100% abortion rate in rats.
IT **96285-39-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)
RN 96285-39-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

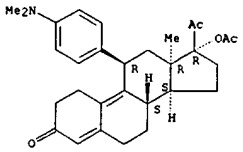
Absolute stereochemistry.

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



IT **96285-40-4P 96285-50-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

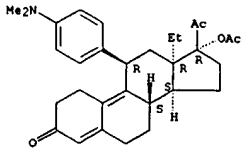
Absolute stereochemistry.



RN 96285-50-6 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1984:68601 CAPLUS
DOCUMENT NUMBER: 100:68601
TITLE: Derivatives of 3-oxo-4,9-unsaturated 19-norsteroids and their pharmaceutical compositions.
INVENTOR(S): Philibert, Daniel; Teutsch, Jean Georges; Costerousse, Germain; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Ger. Offen., 74 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3307143	A1	19830908	DE 1983-3307143	19830301
FR 2522328	A1	19830902	FR 1982-3338	19820301
FR 2522328	B1	19860214		
SE 8300308	A	19830802	SE 1983-308	19830121
ZA 8300982	A	19840328	ZA 1983-982	19830214
IL 67920	A1	19910718	IL 1983-67920	19830215
US 4477445	A	19841016	US 1983-469042	19830223
DK 8300897	A	19830902	DK 1983-897	19830225
WO 8303099	A1	19830915	WO 1983-FR34	19830225
RW: CF, CG, CM, GA, SN, TD, TG				
BE 896042	A1	19830829	BE 1983-210223	19830228
FI 8300652	A	19830902	FI 1983-652	19830228
FI 80049	B	19891229		
FI 80049	C	19900410		
AU 8311913	A1	19830908	AU 1983-11913	19830228
AU 562739	B2	19870618		
NL 8300738	A	19831003	NL 1983-738	19830228
CA 1206471	A1	19860624	CA 1983-422503	19830228
CH 657368	A	19860829	CH 1983-1099	19830228
SU 1340593	A3	19870923	SU 1983-3561503	19830228
GB 2118186	A1	19831026	GB 1983-5558	19830301
GB 2118186	B2	19860423		
JP 58201800	A2	19831124	JP 1983-31909	19830301
JP 05004397	B4	19930119		
ES 520195	A1	19831201	ES 1983-520195	19830301
HU 29069	O	19840130	HU 1983-690	19830301
HU 193269	B	19870928		
AT 8300711	A	19921015	AT 1983-711	19830301
AT 396109	B	19930625		
US 4540686	A	19850910	US 1984-618590	19840608
CA 1215353	A2	19861216	CA 1985-486788	19850715
US 5064822	A	19911112	US 1989-438359	19891116
JP 02275895	A2	19901109	JP 1990-46023	19900228
JP 04043920	B4	19920720		
US 5182381	A	19930126	US 1991-757261	19910910

PRIORITY APPLN. INFO.:

FR 1982-3338	19820301
US 1983-469042	19830223
CA 1983-422503	19830228

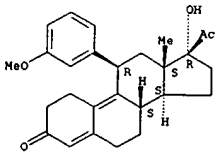
L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)

US 1984-618590	19840608
US 1985-746176	19850618
US 1986-859072	19860502
FR 1988-14868	19881116

AB Title unsatd. norsteroids I and II [R = H, Me; R1 = naphthyl, biphenylyl, (un)substituted Ph; R2 = Me, Et; R3 = H, alkyl, alkenyl, alkynyl, HO, Ac, HOCH2CO, carboxyalkoxy; R4 = H, HO, alkyl, alkenyl, alkynyl substituted by aminoalkylamino, dialhylamino, halo, alkylthio, alkoxy, trialkylsilyl, cyano; Z = O, HON, alkoxyimino] were prepd. by Grignard ring cleavage of epoxy steroids and possessed antiglucocorticoid activity. Thus, treating epoxyestrenol III with 4-ClC6H4MgBr gave phenylestrenediol IV which was hydrolyzed to give phenylestradienone V. At 1.0 .times. 10-6 M V inhibited 89% the effect of 5 .times. 10-8 M dexamethasone on adrenalectomized rats. I and II usefully treat a variety of conditions from glucocorticoid hypersecretion, and had contraceptive and hormonal regulating activity.

IT **88256-91-1P 88256-94-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 88256-91-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-(3-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

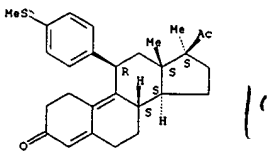
Absolute stereochemistry.



RN 88256-94-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)



L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1979:6615 CAPLUS
DOCUMENT NUMBER: 90:6615
TITLE: 11.beta.-Substituted 4,9-unsaturated steroid derivatives
INVENTOR(S): Teutsch, Jean Georges; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801416	A1	19780720	DE 1978-2801416	19780113
DE 2801416	C2	19920917		
FR 2377418	A1	19780811	FR 1977-858	19770113
FR 2377418	B1	19790420		
SE 7714613	A	19780714	SE 1977-14613	19771221
SE 435515	B	19841001		
SE 435515	C	19850110		
US 4233296	A	19801111	US 1978-867485	19780106
BE 862869	A1	19780712	BE 1978-184284	19780112
DK 7800138	A	19780714	DK 1978-138	19780112
DK 161333	B	19910624		
DK 161333	C	19911209		
NL 7800363	A	19780717	NL 1978-363	19780112
CA 1115266	A1	19811229	CA 1978-294879	19780112
JP 53092752	A2	19780815	JP 1978-2066	19780113
JP 62047878	B4	19871009		
GB 1595132	A	19810805	GB 1978-1376	19780113
CH 633811	A	19821231	CH 1978-390	19780113
DE 2858797	C2	19930603	DE 1978-2858797	19780113

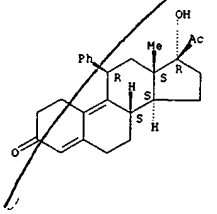
PRIORITY APPLN. INFO.: FR 1977-858 19770113

AB Estradienes I (R = C1-12 alkyl, C2-8 alkenyl, substituted aryl, substituted aralkyl; R1 = C1-4 alkyl; R2 = H, OH, C1-8 alkoxy, C1-18 acyl, C1-18 acyloxy; R3 = H, OH, C1-8 alkyl, C1-8 alkoxy, C1-18 acyloxy alkenyl, C2-8 alkynyl) (34 compds.), useful as androgenic hormones, were prepd. by dehydration-deketalization of II. Thus, acetylation of I (R = Et, R1 = Me, R2 = Ac, R3 = OH) (III) by AcOH in presence of (CF3CO)2O gave 32 mg I (R = Et, R1 = Me, R2 = Ac, R3 = AcO). Refluxing II (R = Et, R1 = Me, R2 = Ac, R3 = HO) in EtOH contg. Redex CF resin gave III.

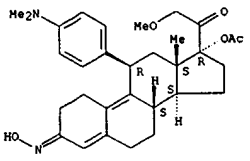
IT **67983-59-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2001 ACS (Continued)
RN 67983-59-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-11-phenyl-, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS (Continued)



L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430

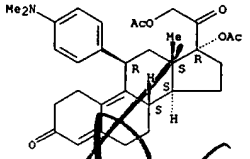
PRIORITY APPLN. INFO.: US 1996-16628 P 19960501
WO 1997-US7373 W 19970430
OTHER SOURCE(S): MARPAT 127:358992

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

IT **198414-07-2P 198414-31-2P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)

RN 198414-07-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

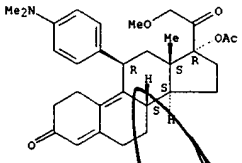
Absolute stereochemistry.



RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

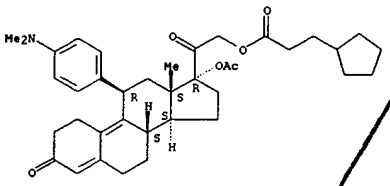
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS (Continued)



IT **198414-33-4P 198414-39-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)

RN 198414-33-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

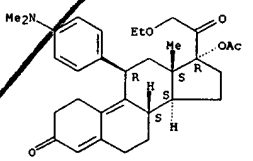
Absolute stereochemistry.



RN 198414-39-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

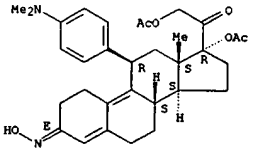
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS (Continued)



IT **198414-40-3P 198414-41-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)

RN 198414-40-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

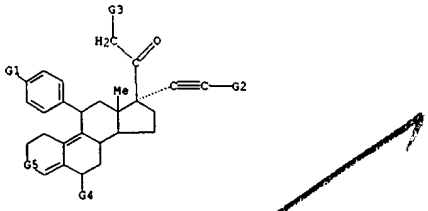
L7 ANSWER 1 OF 14 MARPAT COPYRIGHT 2001 ACS

ACCESSION NUMBER: 133:17687 MARPAT
TITLE: Preparation of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; O'Reilly, Jill M.
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034306	A1	20000615	WO 1999-US28535	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6172052	B1	20010109	US 1998-205395	19981204
PRIORITY APPLN. INFO.:			US 1998-205395	19981204

AB Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 = NMe2, NHMe, NH2; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc; R4 = H, Me, F, Cl; X = O, H2, NOH, NOMe] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from estrone in many steps. The relative progesterone binding activity of II was 313% of promegestone.

MSTR 2



G1 = COMe
G2 = Me
G3 = OMe
G5 = 34

G6 = O
DER: and pharmaceutically acceptable salts
MPL: claim 12

REFERENCE COUNT: 6
REFERENCE(S):
(1) Bouali; US 5981516 A 1999 CAPLUS
(2) Cook; US 5073548 A 1991 CAPLUS
(3) Cook; US 6020328 A 2000 CAPLUS
(4) Grandadam, J; EP 446124 1991 CAPLUS
(5) Kasch; US 5407928 A 1995 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)

L7 ANSWER 2 OF 14 MARPAT COPYRIGHT 2001 ACS

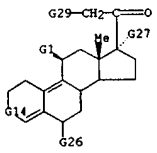
ACCESSION NUMBER: 131:199885 MARPAT
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
AU 9928715	A1	19990920	AU 1999-28715	19990305
EP 1060183	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1998-35949	19980306
			WO 1999-US3732	19990305

AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM.

L7 ANSWER 2 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)

MSTR 1A



G2 = phenylene (SO (1) G3)
G4 = COMe
G14 = 128

G15 = O
G27 = OCHO
G29 = OCHO
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted; also incorporates claim 3

REFERENCE COUNT: 2
REFERENCE(S):
(1) Scholz; US 5446036 A 1995 CAPLUS
(2) Teutsch; US 4386085 A 1983 CAPLUS

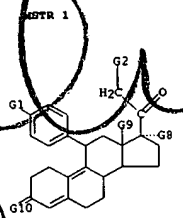
L7 ANSWER 3 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 127:358992 MARPAT
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971129	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430

PRIORITY APPLN. INFO.: US 1996-16628 19960501
WO 1997-US7373 19970430

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiuterine Clauberg test compared to CDB-2914.

MSTR 1



G1 = CHO
G2 = 29

G3 = alkyl<(1-6)> (SO)
G8 = OH
G10 = O
MPL: claim 1

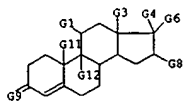
L7 ANSWER 4 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

PRIORITY APPLN. INFO.: FR 1994-4156 19940408

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

MSTR 2



L7 ANSWER 4 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)

G1 = Ph (SO (1-) G2)
G4 = 21

G5 = alkyl (SR G13)
G6 = OH
G9 = O
G13 = acyloxy
DER: and pharmaceutically acceptable addition salts
DER: and pharmaceutically acceptable addition salts
MPL: claim 7

L7 ANSWER 5 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

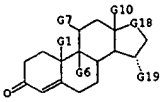
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1994-506200	19940728
AT 171873	E	19981015	AT 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118

PRIORITY APPLN. INFO.: EP 1993-202304 19930804
EP 1994-924819 19940728
WO 1994-EP2513 19940728

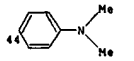
AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

MSTR 1

L7 ANSWER 5 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)



G7 = 44



G11 = OH
G16 = alkylcarbonyl<(1-5)> (SO (1-) G17)
G17 = alkoxy<(1-6)> / OCHO
G18 = 39

MPL: claim 2

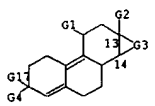
L7 ANSWER 6 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 446124	A2	19910911	EP 1991-400594	19910305
EP 446124	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2659233	A1	19910913	FR 1990-2783	19900306
FR 2659233	B1	19940121		
CA 2037549	AA	19910907	CA 1991-2037549	19910305
AU 9172608	A1	19910912	AU 1991-72608	19910305
AU 642975	B2	19931104		
ZA 9101603	A	19920527	ZA 1991-1603	19910305
JP 04211610	A2	19920803	JP 1991-62496	19910305
RU 2037295	C1	19950619	RU 1991-4895041	19910305
CN 1055665	A	19911030	CN 1991-102108	19910306
HU 59006	A2	19920428	HU 1991-729	19910306

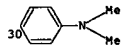
PRIORITY APPLN. INFO.: FR 1990-2783 19900306

AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described. 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

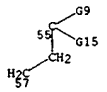
MSTR 1C



L7 ANSWER 6 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)
G1 = 30



G3 = 55-13 57-14



G9 = alkylcarbonyloxy<(1-8)>
G10 = alkyl<(1-8)>
G15 = 64

G4 +G17= O
DER: and protected derivatives
DER: and acid addition salts
MPL: claim 1

L7 ANSWER 7 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic and
antiprogestomimetic steroids
INVENTOR(S): Cohen, Gerard; Dubois, Jean Luc
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

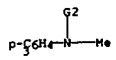
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	A	19950415	AT 1990-2313	19901115
AT 400298	B	19951127		

PRIORITY APPLN. INFO.: FR 1989-14976 19891115
AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, and 0.5 g 17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.

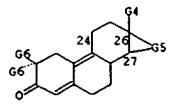
MSTR 1A

G1 = 3

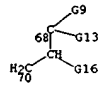
L7 ANSWER 7 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)



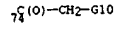
G3 = 24



G5 = 68-26 70-27



G9 = 74



G10 = alkylcarbonyloxy<(1-8)> (SO)
G13 = alkylcarbonyloxy<(1-8)>
MPL: claim 6

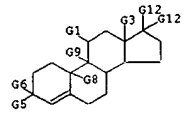
L7 ANSWER 8 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating ovulation,
and new preparation for use in pharmaceutical compositions
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
FR 2651435	A1	19910308	FR 1989-11699	19890907
FR 2651435	B1	19940422		
US 5173483	A	19921222	US 1990-578894	19900905
CA 2024728	AA	19910308	CA 1990-2024728	19900906
AU 9062259	A1	19910314	AU 1990-62259	19900907
AU 623805	B2	19920521		
JP 03099015	A2	19910424	JP 1990-236004	19900907
JP 3032258	B2	20000410		

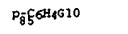
PRIORITY APPLN. INFO.: FR 1989-11699 19890907
AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

MSTR 1E

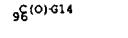
L7 ANSWER 8 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)



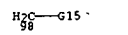
G1 = 85



G10 = COMe
G12 = OH / 96



G14 = 98



G15 = alkylcarbonyloxy<(1-8)> (SO (1-) aryl)
G5 +G6 = O
DER: or acid or base addition salts
MPL: claim 2
NTE: oxo formed by G5 and G6 may be protected as a ketal

L7 ANSWER 9 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 115:9125 MARPAT
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antiglucocorticoids
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

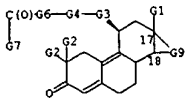
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 1990-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651233	A1	19910301	FR 1989-11173	19890823
FR 2651233	B1	19911213		
CA 2022648	AA	19910224	CA 1990-2022648	19900803
ZA 9006341	A	19911030	ZA 1990-6341	19900810
US 5166146	A	19921124	US 1990-568597	19900816
JP 03090097	A2	19910416	JP 1990-217281	19900820
JP 3026997	B2	20000327		
IL 95451	A1	19950731	IL 1990-95451	19900821
AU 9061189	A1	19910228	AU 1990-61189	19900822
AU 634569	B2	19930225		
HU 54706	A2	19910328	HU 1990-5275	19900822
HU 208154	B	19930830		
ES 2063313	T3	19950101	ES 1990-402328	19900822
CN 1051362	A	19910515	CN 1990-107161	19900823
CN 1033808	B	19970115		
RU 2041236	C1	19950809	RU 1992-5011511	19920518

PRIORITY APPLN. INFO.: FR 1989-11173 19890823

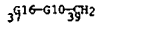
AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 1A

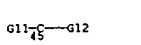
L7 ANSWER 9 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)



G3 = phenylene
G9 = 39-18 37-17



G10 = (1-2) 45



G13 = OH / 56

G14 = alkyl<(1-8)> (SO)
G16 = 68

MPL: claim 1

L7 ANSWER 10 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
INVENTOR(S): Scholz, Stefan; Neef, Guenter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3832303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		
AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 5244886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204

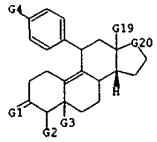
PRIORITY APPLN. INFO.: DE 1988-3832303 19880920
WO 1989-EP1090 19890920
NO 1991-1102 19910319

AB The title compds. [I; Z = O, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = O) (prepn. given) to give II (R3 = O, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, LM = bond, Z = O, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-

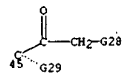
L7 ANSWER 10 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)

(dimethylamino)phenyl]-.=17.alpha.-hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

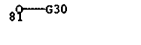
MSTR 1A



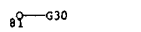
G1 = O
G20 = 45



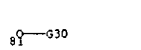
G24 = 81



G27 = 81



G28 = 81



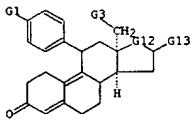
G29 = OCHO
G30 = alkyl<(1-4)>
MPL: claim 1

L7 ANSWER 13 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1984-3446661	19841218

AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = O; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR 2



L7 ANSWER 13 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)

G4 = 59

G8 = alkylcarbonyloxy<(1-3)>
G11 = alkoxy<(1-4)>
G12 = 66

GGA = 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE>
DER: and acid addition salts
MPL: claim 18

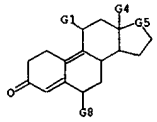
L7 ANSWER 14 OF 14 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 110:95624 MARPAT
TITLE: Preparation of novel 11-arylestrane and 11-arylpregnane derivatives as antiprogestins with low or no antiglucocorticoid activity
INVENTOR(S): Groen, Marinus Bernard; De Jongh, Hendrik Paul
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 289073	A1	19881102	EP 1988-200689	19880412
EP 289073	B1	19911127		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 69820	E	19911215	AT 1988-200689	19880412
ES 2045082	T3	19940116	ES 1988-200689	19880412
ZA 8802643	A	19881130	ZA 1988-2643	19880414
FI 8801826	A	19881025	FI 1988-1826	19880419
FI 88396	B	19930129		
FI 88396	C	19930510		
US 4871724	A	19891003	US 1988-183851	19880420
CA 1297472	A1	19920317	CA 1988-564606	19880420
DK 8802218	A	19881025	DK 1988-2218	19880422
DK 168294	B1	19940307		
AU 8815072	A1	19881027	AU 1988-15072	19880422
AU 608831	B2	19910418		
JP 63280097	A2	19881117	JP 1988-100010	19880422
CN 88102416	A	19881214	CN 1988-102416	19880423
CN 1019978	B	19930303		
KR 9705318	B1	19970415	KR 1988-4653	19880423
PRIORITY APPLN. INFO.:			NL 1987-970	19870424
			EP 1988-200689	19880412

AB The title compds. [I; R1 = aminoaryl; R2 = C1-4 alkyl; R3 = H, OH, substituted (unsatd.) C1-8 hydrocarbyl; R4 = OH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = C1-4 hydrocarbyl] useful as antiprogestins (no data) were prepd. 5.alpha.,6.alpha.-Epoxy-11.beta.-hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with MeMgCl in PhMe/THF and the product was dehydrated with POCl3/pyridine to give 6-.beta.-methylestra-5(10),9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to 11.beta.-[4-(dimethylamino)phenyl]-17.beta.-hydroxy-17.alpha.-(3-hydroxy-1-propynyl)-6.beta.-methylestra-4,9-diene-3-one.

MSTR 1

L7 ANSWER 14 OF 14 MARPAT COPYRIGHT 2001 ACS (Continued)



G1 = 63 / 64 / 65

G5 = 25

G6 = OH
G7 = alkylcarbonyl (SO (1-) G10)
G10 = alkoxy / alkylcarbonyloxy (SR (1-) G12)
GGA = 69 <(1-7)>
MPL: claim 1

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L3             513 S L1 FULL

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L6              16 S L4 NOT PY>=1997

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L9               0 S L8 NOT L6

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US 095268550AP1

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Team: OIPEBackFileIndexing
Dossier: 09526855

Legal Date: 06-06-2001

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3	892	1

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